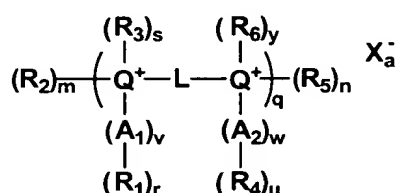


New Transfection Reagents

Abstract

5 Disclosed are compounds capable of facilitating transport of biologically active agents or substances into cells having the general structure:



10 wherein

Q is selected from the group consisting of N, O and S; L is any bivalent organic radical capable of linking each Q, such as C, CH, (CH₂)_i, or {(CH₂)_i-Y-(CH₂)_j}_k, wherein Y is selected from the group consisting of CH₂, an ether, a polyether, an amide, a polyamide, an ester, a sulfide, a urea, a thiourea, a guanidyl, a carbamoyl, a carbonate, a phosphate, a sulfate, a sulfoxide, an imine, a carbonyl, and a secondary amino group and wherein Y is optionally substituted by -X₁-L'-X₂-Z or -Z; R₁ - R₆, independently of one another, are selected from the group consisting of H, -(CH₂)_p-D-Z, an alkyl, an alkenyl, an aryl, and an alkyl or alkyl ether optionally substituted by one or more of an alcohol, an aminoalcohol, an amine, an amide, an ether, a polyether, a polyamide, an ester, a mercaptan, an alkylthio, a urea, a thiourea, a guanidyl, or a carbamoyl group, and wherein at least one of R₁, R₃, R₄ and R₆ is a straight chain or branched, cyclic, alkyl, alkenyl, alkynyl or aryl group; and any one of R₁, R₃, R₄ and/or R₆ may optionally be covalently linked with each other, with Y or with L when L is C or CH to form a cyclic moiety; Z is selected from the group consisting of amine, spermyl, carboxyspermyl, guanidyl, spermidinyl, putricinyl, diaminoalkyl, pyridyl, piperidinyl, pyrrolidinyl, polyamine, amino acid, peptide, and protein; X₁ and X₂, independently of one another, are selected from the group consisting of NH, O, S, alkylene, and arylene; L' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, alkylene ether,

09438365 11299

and polyether; D is Q or a bond; A₁ and A₂, independently of one another, are selected from the group consisting of CH₂O, CH₂S, CH₂NH, C(O), C(NH), C(S) and (CH₂)_i; X is a physiologically acceptable anion; m, n, r, s, u, v, w and y are 0 or 1, with the proviso that when both m and n are 0 at least one of r, s, u and y is other than 0; i, j, k, l, p and t are integers from 0 to about 100; q is an integer from 1 to about 1000; and a is the number of positive charge divided by the valence of the anion.

10

A271-42.wpd

00430365-11299
00217-9902160